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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 26	MAR 20	CAS databases on STN enhanced with new super role

FILE 'HOME' ENTERED AT 09:37:25 ON 30 MAR 2009

=> file reg
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   0.22   0.22

FILE 'REGISTRY' ENTERED AT 09:37:49 ON 30 MAR 2009

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2
DICTIONARY FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

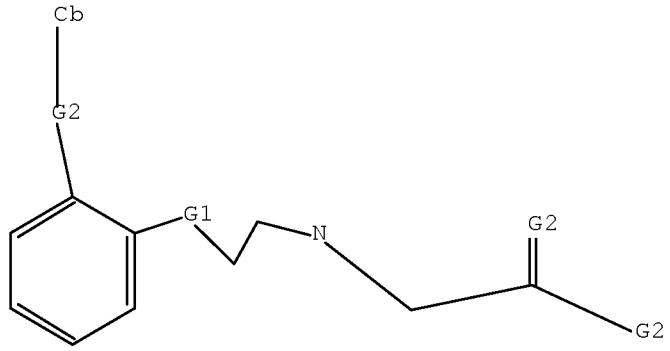
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10551737 R5 is carbocyclic ring.str

L1   STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S
G2 O,S
G3 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.48	0.70

FILE 'CAPLUS' ENTERED AT 09:38:06 ON 30 MAR 2009

FILE COVERS 1907 - 30 Mar 2009 VOL 150 ISS 14
FILE LAST UPDATED: 29 Mar 2009 (20090329/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1 SSS full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:38:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 991122 TO ITERATE

99.2% PROCESSED 983210 ITERATIONS 99 ANSWERS

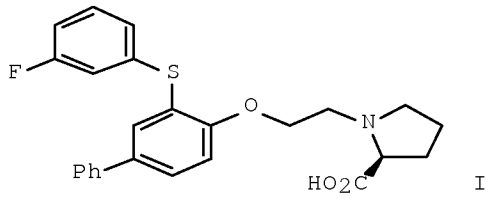
100.0% PROCESSED 991122 ITERATIONS 99 ANSWERS
SEARCH TIME: 00.00.26

L2 99 SEA SSS FUL L1

L3 11 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:625349 CAPLUS Full-text
DOCUMENT NUMBER: 145:224321
TITLE: The synthesis and SAR of 2-arylsulfanylphenyl-1-oxyalkylamino acids as GlyT-1 inhibitors
AUTHOR(S): Smith, Garrick; Mikkelsen, Gitte; Eskildsen, Jorgen; Bundgaard, Christoffer
CORPORATE SOURCE: Medicinal Chemistry Research, H. Lundbeck A/S, Valby, DK 2500, Den.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(15), 3981-3984
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:224321
GI



I

AB Elevation of glycine levels by inhibition of the glycine transporter-1 (GlyT-1) and activation of the NMDA receptor is a potential strategy for the treatment of schizophrenia. A novel series of 2-arylsulfanylphenyl-1-oxyalkyl amino acids have been identified. The most prominent member of this series (I) is a potent GlyT-1 inhibitor (IC50 = 59 nM). In vitro and in vivo assessment of CNS exposure indicates this compound is a likely substrate for active efflux transporters.

IT 791643-06-6P 791643-10-2P 791643-25-9P
791643-27-1P 791643-31-7P 791643-68-0P
905815-53-4P 905815-54-5P 905815-55-6P
905815-56-7P 905815-57-8P 905815-58-9P
905815-59-0P 905815-60-3P 905815-61-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and SAR of arylsulfanylphenyloxyalkylamino acids as GlyT-1 inhibitors)

RN 791643-06-6 CAPLUS
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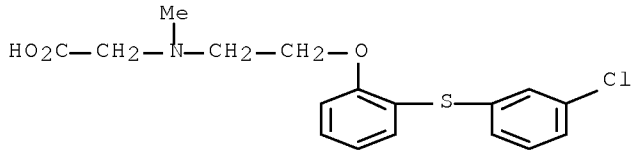
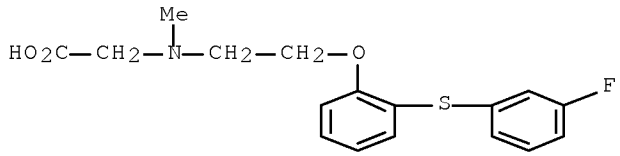
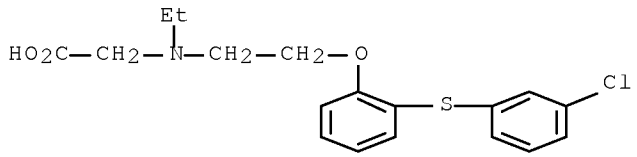


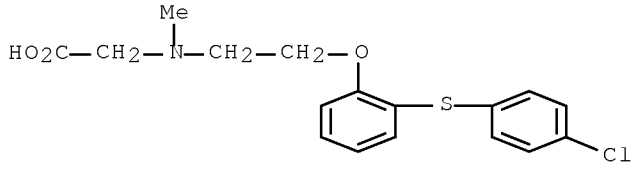
RN 791643-10-2 CAPLUS
CN Glycine, N-[2-[2-[(3-fluorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



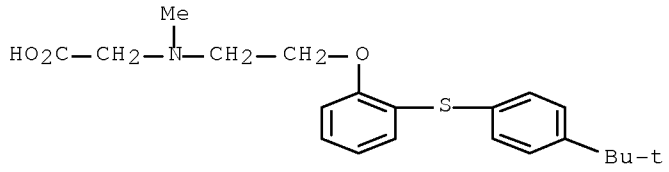
RN 791643-25-9 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)



RN 791643-27-1 CAPLUS
CN Glycine, N-[2-[2-[(4-chlorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)

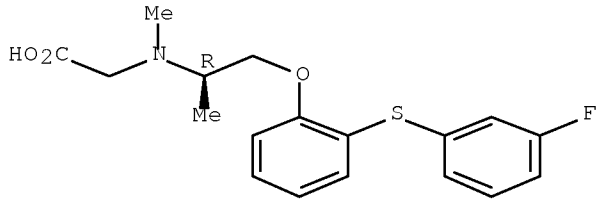


RN 791643-31-7 CAPLUS
CN Glycine, N-[2-[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)

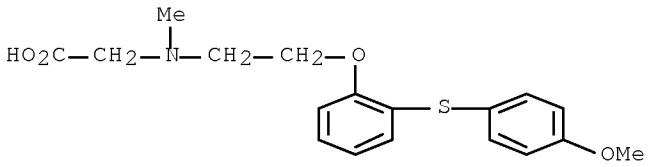


RN 791643-68-0 CAPLUS
CN Glycine, N-[(1R)-2-[2-[(3-fluorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

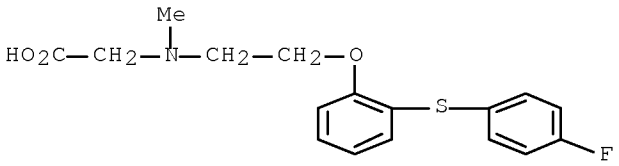
Absolute stereochemistry.



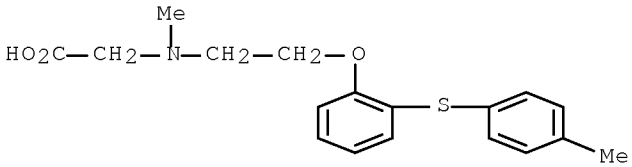
RN 905815-53-4 CAPLUS
CN Glycine, N-[2-[2-[(4-methoxyphenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



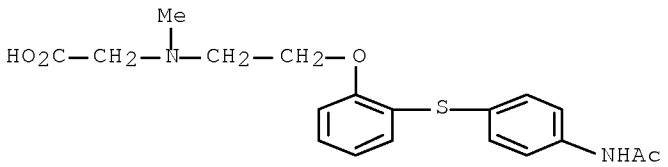
RN 905815-54-5 CAPLUS
CN Glycine, N-[2-[2-[(4-fluorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



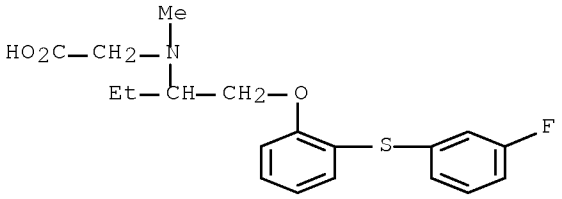
RN 905815-55-6 CAPLUS
CN Glycine, N-methyl-N-[2-[2-[(4-methylphenyl)thio]phenoxy]ethyl]- (CA INDEX NAME)



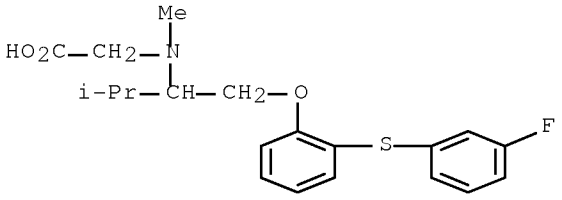
RN 905815-56-7 CAPLUS
CN Glycine, N-[2-[2-[[4-(acetylamino)phenyl]thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



RN 905815-57-8 CAPLUS
CN Glycine, N-[1-[[2-[(3-fluorophenyl)thio]phenoxy]methyl]propyl]-N-methyl- (CA INDEX NAME)

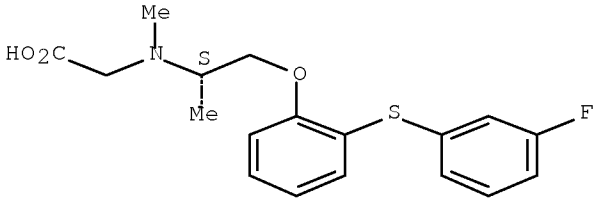


RN 905815-58-9 CAPLUS
CN Glycine, N-[1-[[2-[(3-fluorophenyl)thio]phenoxy]methyl]-2-methylpropyl]-N-methyl- (CA INDEX NAME)



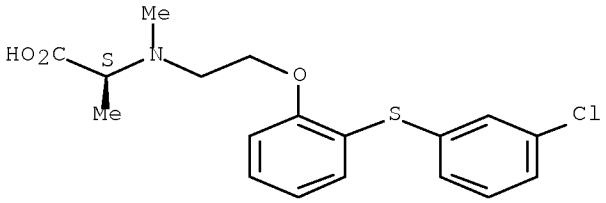
RN 905815-59-0 CAPLUS
CN Glycine, N-[(1S)-2-[2-[(3-fluorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



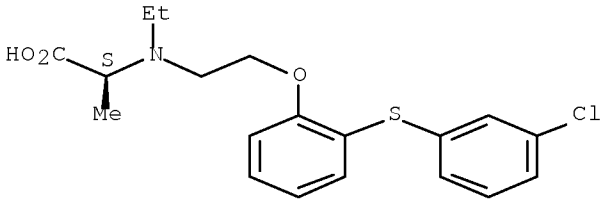
RN 905815-60-3 CAPLUS
CN L-Alanine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 905815-61-4 CAPLUS
CN L-Alanine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1154515 CAPLUS Full-text
DOCUMENT NUMBER: 143:422634
TITLE: Preparation of N-(2-aryloxyethyl)glycine derivatives and their use as glycine transport inhibitors
INVENTOR(S): Man, Teresa; Milot, Guy; Porter, Warren Jaye; Reel, Jon Kevin; Rudyk, Helene Catherine Eugenie; Valli, Matthew John; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100301	A1	20051027	WO 2005-US8962	20050318

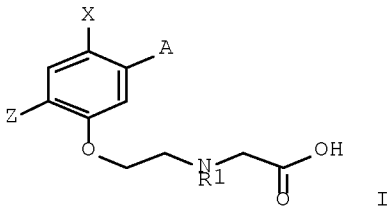
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-558260P P 20040331

OTHER SOURCE(S): CASREACT 143:422634; MARPAT 143:422634

GI



I

AB The invention relates to (aryloxyethyl)glycine derivs. I [X is H, halo, alkyl, CF3, cycloalkyl, arylcarbonyl, (un)substituted aryl, fused arylcycloalkyl or heteroaryl, fused arylheterocyclyl; Z is alkyl, alkenyl, Y, CO-Y, CH(OH)-Y, OY, alkyl-Y, alkyl-OY, SY, CF2Y or NR2-Y, where Y is alkyl, (CH2)1-10CF3, CF3, C2F5, C3F7, (un)substituted aryl, heteroaryl, cycloalkyl or heterocyclyl and R2 is H or alkyl; A is (un)substituted aryl, H, alkoxy; R1 is alkyl] or their pharmaceutically-acceptable salts that exhibit activity as inhibitors of the glycine type-1 transporter, to pharmaceutical compns. containing them and to their use in the treatment of neurol. and neuropsychiatric disorders. Thus, glycine derivative I (X = Ph, Z = 2-thienyl, A = H, R1 = H) was prepared via reactions of 3-iodo-4-methoxybiphenyl, 2-thiopheneboronic acid, and [(2-hydroxyethyl)methylamino]acetic acid tert-Bu ester.

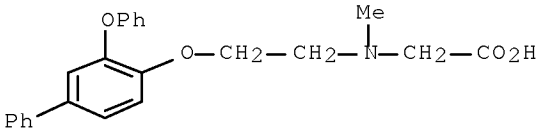
IT 868263-52-9P 868264-97-5P 868265-44-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (aryloxyethyl)glycine derivs. as glycine transport inhibitors)

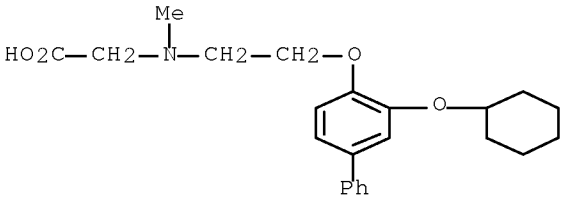
RN 868263-52-9 CAPLUS

CN Glycine, N-methyl-N-[2-[(3-phenoxy[1,1'-biphenyl]-4-yl)oxy]ethyl]- (CA INDEX NAME)

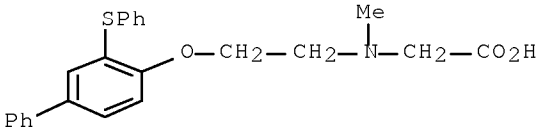


RN 868264-97-5 CAPLUS

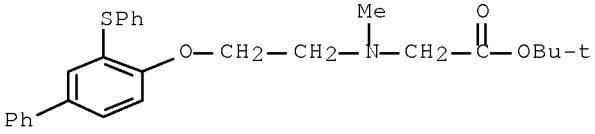
CN Glycine, N-[2-[[3-(cyclohexyloxy)[1,1'-biphenyl]-4-yl]oxy]ethyl]-N-methyl- (CA INDEX NAME)



RN 868265-44-5 CAPLUS
CN Glycine, N-methyl-N-[2-[[3-(phenylthio)[1,1'-biphenyl]-4-yl]oxy]ethyl]- (CA INDEX NAME)



IT 868263-20-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aryloxyethyl)glycine derivs. as glycine transport inhibitors)
RN 868263-20-1 CAPLUS
CN Glycine, N-methyl-N-[2-[[3-(phenylthio)[1,1'-biphenyl]-4-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

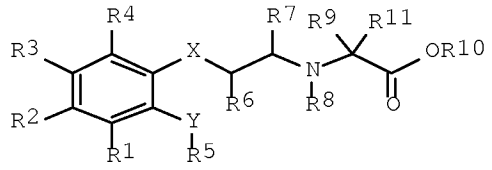
L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:965214 CAPLUS Full-text
DOCUMENT NUMBER: 141:411217
TITLE: A preparation of oxyphenyl and sulfanylphenyl derivatives of amino acids, useful as glycine transporter inhibitors
INVENTOR(S): Smith, Garrick Paul; Mikkelsen, Gitte; Andersen, Kim; Greve, Daniel Rodriguez; Eskildsen, Joergen
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

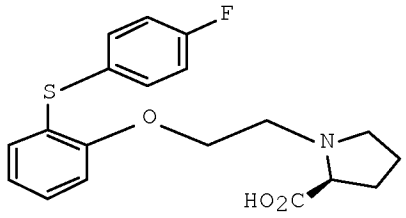
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096761	A1	20041111	WO 2004-DK290	20040427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004233942	A1	20041111	AU 2004-233942	20040427
CA 2523585	A1	20041111	CA 2004-2523585	20040427
EP 1622868	A1	20060208	EP 2004-729612	20040427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009739	A	20060509	BR 2004-9739	20040427
CN 1780815	A	20060531	CN 2004-80011219	20040427
JP 2006524642	T	20061102	JP 2006-504368	20040427
MX 2005011198	A	20051214	MX 2005-11198	20051018
IN 2005CN02812	A	20070525	IN 2005-CN2812	20051031
NO 2005005632	A	20051129	NO 2005-5632	20051129
US 20060235003	A1	20061019	US 2006-551737	20060606

PRIORITY APPLN. INFO.:			DK 2003-649	A 20030430
			US 2003-466755P	P 20030430
			WO 2004-DK290	W 20040427

OTHER SOURCE(S): MARPAT 141:411217
GI



I



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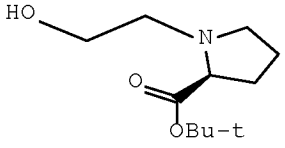


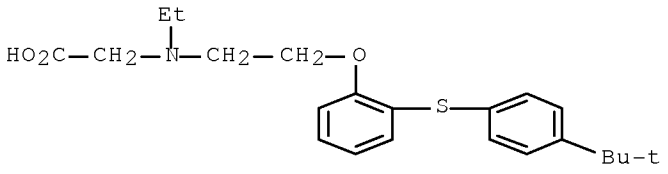
III

AB The invention relates to a preparation of aromatic oxyphenyl and aromatic sulfanylphenyl derivs. of formula I [wherein: X is O, S, or CH2, etc.; Y is O or S; R1, R2, R3, and R4 are independently selected from H, halogen, CN, NO2, or alk(en/yn)yl, etc.; R5 is (un)substituted aryl or monocyclic heteroaryl; R6

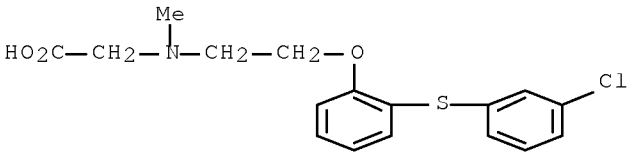
is H, alk(en/yn)yl, cycloalk(en)yl, or alk(en/yn)ylsulfanyl, etc.; R7 and R8 are independently selected from H, alk(en/yn)yl, or cycloalk(en)yl; R9 and R11 are independently selected from H, alk(en/yn)yl, hydroxyalk(en/yn)yl, or alk(en/yn)ylsulfanyl, etc.; R10 is H, alk(en/yn)yl, aryl, or arylalk(en/yn)yl, etc.; R6 and R8 together with the nitrogen may form 3-7 membered heterocyclic ring], useful as glycine transporter inhibitors (IC50 < 10000 nM). The compds. of formula I are useful for the treatment of diseases such as schizophrenia, including both the pos. and the neg. symptoms of schizophrenia. For instance, pyrrolidinecarboxylic acid derivative II was prepared via etherification of 2-(3-fluorophenylsulfanyl)phenol by (hydroxyethyl)pyrrolidinecarboxylate derivative III.

IT 791643-02-2P 791643-06-6P 791643-08-8P
791643-10-2P 791643-12-4P 791643-14-6P
791643-16-8P 791643-20-4P 791643-21-5P
791643-25-9P 791643-27-1P,
[[2-[2-(4-Chlorophenylsulfanyl)phenoxy]ethyl]-N-methylamino]acetic acid
791643-30-6P, [[2-[2-(4-tert-Butylphenylsulfanyl)phenoxy]ethyl]-N-isopropylamino]acetic acid 791643-31-7P,
[[2-[2-(4-tert-Butylphenylsulfanyl)phenoxy]ethyl]-N-methylamino]acetic acid 791643-33-9P, [[2-[2-(3,4-Dichlorophenylsulfanyl)phenoxy]ethyl]-N-methylamino]acetic acid
791643-34-0P 791643-45-3P,
[[1-[2-(3,4-Dichlorophenylsulfanyl)phenoxy]butan-2-yl]-N-ethylamino]acetic acid 791643-46-4P 791643-48-6P 791643-49-7P
791643-51-1P 791643-52-2P 791643-53-3P
791643-55-5P 791643-57-7P 791643-58-8P
791643-63-5P 791643-65-7P 791643-66-8P
791643-68-0P 791643-70-4P 791643-71-5P
791643-72-6P 791643-73-7P 791643-74-8P
791643-75-9P 791643-76-0P 791643-77-1P
791643-78-2P 791643-79-3P 791643-80-6P
791643-81-7P 791643-84-0P 791643-86-2P
791643-87-3P 791644-10-5P 791644-11-6P
791644-12-7P 791644-16-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxyphenyl and sulfanylphenyl derivs. of amino acids, useful as glycine transporter inhibitors)

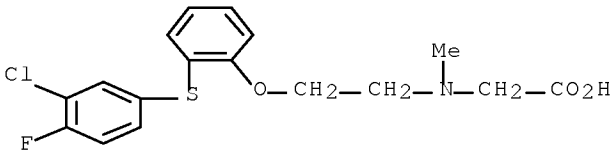
RN 791643-02-2 CAPLUS
CN Glycine, N-[2-[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)



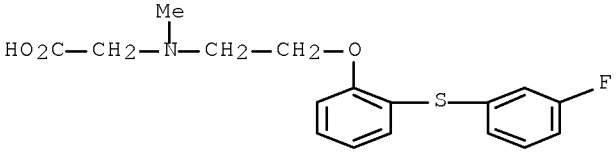
RN 791643-06-6 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



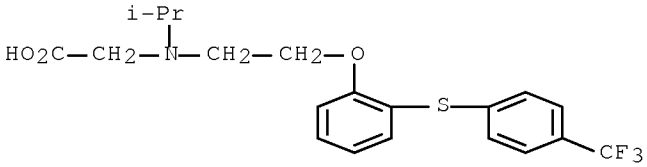
RN 791643-08-8 CAPLUS
CN Glycine, N-[2-[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



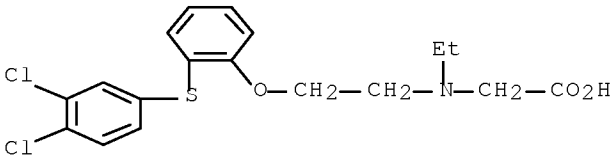
RN 791643-10-2 CAPLUS
CN Glycine, N-[2-[2-[(3-fluorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



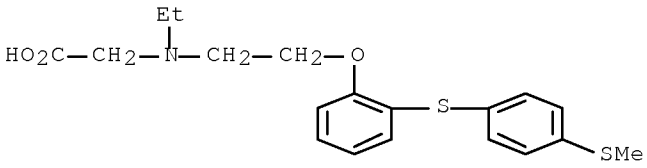
RN 791643-12-4 CAPLUS
CN Glycine, N-(1-methylethyl)-N-[2-[2-[[4-(trifluoromethyl)phenyl]thio]phenoxy]ethyl]- (CA INDEX NAME)



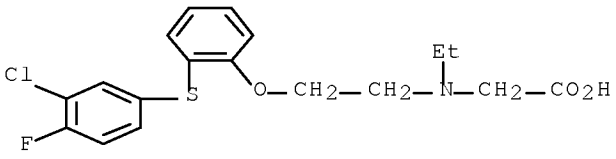
RN 791643-14-6 CAPLUS
CN Glycine, N-[2-[2-[(3,4-dichlorophenyl)thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)



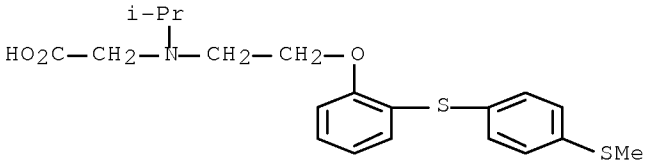
RN 791643-16-8 CAPLUS
CN Glycine, N-ethyl-N-[2-[2-[[4-(methylthio)phenyl]thio]phenoxy]ethyl]- (CA INDEX NAME)



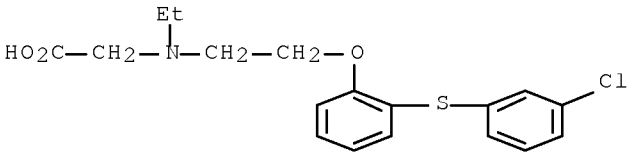
RN 791643-20-4 CAPLUS
CN Glycine, N-[2-[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)



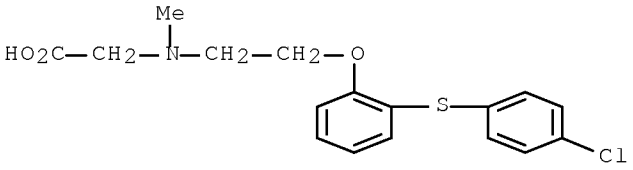
RN 791643-21-5 CAPLUS
CN Glycine, N-(1-methylethyl)-N-[2-[2-[[4-(methylthio)phenyl]thio]phenoxy]ethyl]- (CA INDEX NAME)



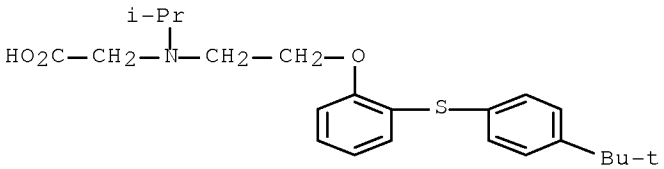
RN 791643-25-9 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]ethyl]-N-ethyl- (CA INDEX NAME)



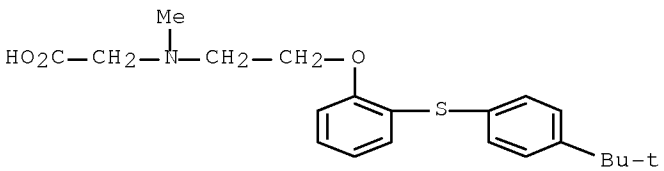
RN 791643-27-1 CAPLUS
CN Glycine, N-[2-[2-[(4-chlorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



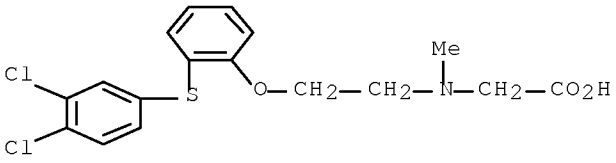
RN 791643-30-6 CAPLUS
CN Glycine, N-[2-[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]ethyl]-N-(1-methylethyl)- (CA INDEX NAME)



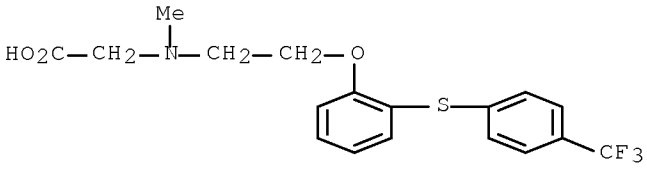
RN 791643-31-7 CAPLUS
CN Glycine, N-[2-[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



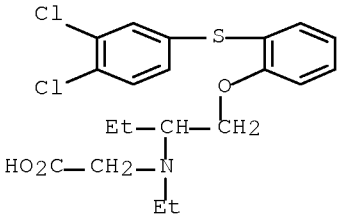
RN 791643-33-9 CAPLUS
CN Glycine, N-[2-[2-[(3,4-dichlorophenyl)thio]phenoxy]ethyl]-N-methyl- (CA INDEX NAME)



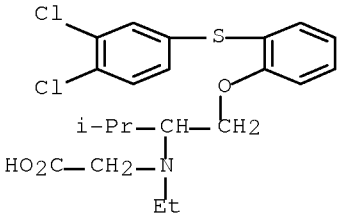
RN 791643-34-0 CAPLUS
CN Glycine, N-methyl-N-[2-[2-[[4-(trifluoromethyl)phenyl]thio]phenoxy]ethyl]-
(CA INDEX NAME)



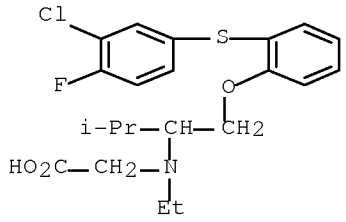
RN 791643-45-3 CAPLUS
CN Glycine, N-[1-[[2-[(3,4-dichlorophenyl)thio]phenoxy]methyl]propyl]-N-ethyl-
(CA INDEX NAME)



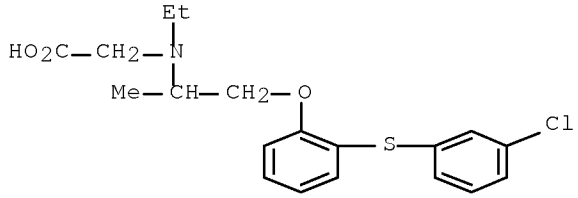
RN 791643-46-4 CAPLUS
CN Glycine, N-[1-[[2-[(3,4-dichlorophenyl)thio]phenoxy]methyl]-2-
methylpropyl]-N-ethyl- (CA INDEX NAME)



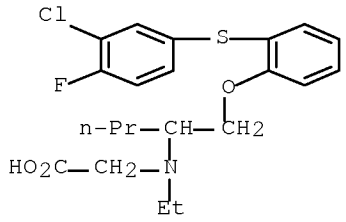
RN 791643-48-6 CAPLUS
CN Glycine, N-[1-[[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]methyl]-2-methylpropyl]-N-ethyl- (CA INDEX NAME)



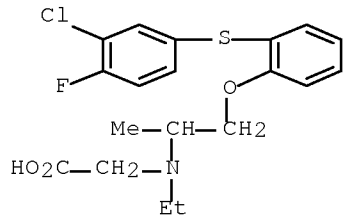
RN 791643-49-7 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)



RN 791643-51-1 CAPLUS
CN Glycine, N-[1-[[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]methyl]butyl]-N-ethyl- (CA INDEX NAME)

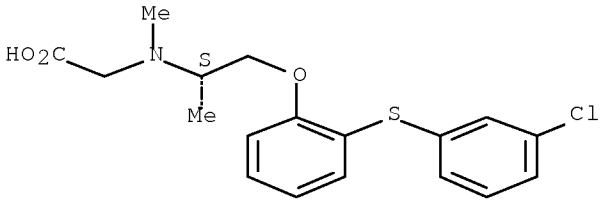


RN 791643-52-2 CAPLUS
CN Glycine, N-[2-[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)



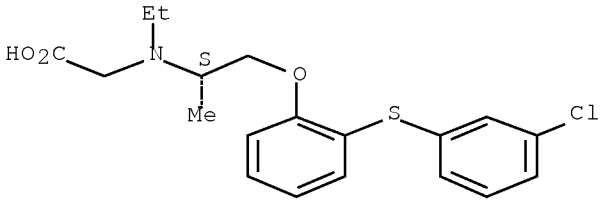
RN 791643-53-3 CAPLUS
CN Glycine, N-[(1S)-2-[2-[(3-chlorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

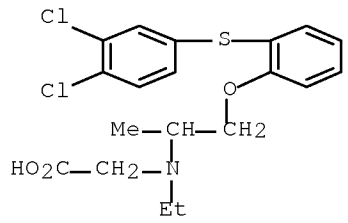


RN 791643-55-5 CAPLUS
CN Glycine, N-[(1S)-2-[2-[(3-chlorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)

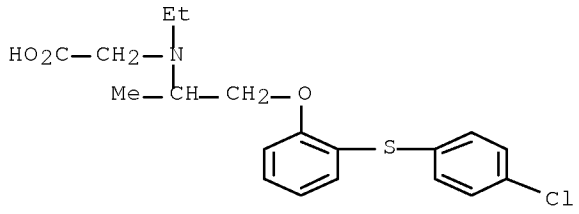
Absolute stereochemistry.



RN 791643-57-7 CAPLUS
CN Glycine, N-[2-[2-[(3,4-dichlorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)

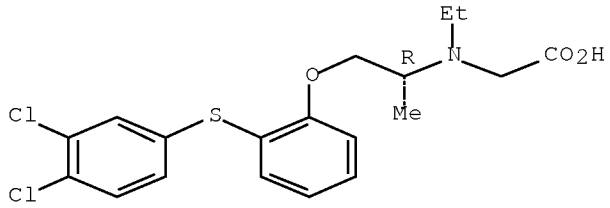


RN 791643-58-8 CAPLUS
CN Glycine, N-[2-[2-[(4-chlorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)



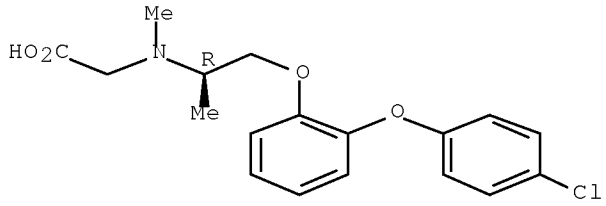
RN 791643-63-5 CAPLUS
CN Glycine, N-[(1R)-2-[2-[(3,4-dichlorophenyl)thio]phenoxy]-1-methylethyl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



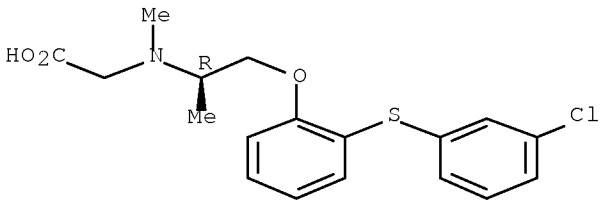
RN 791643-65-7 CAPLUS
CN Glycine, N-[(1R)-2-[2-(4-chlorophenoxy)phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



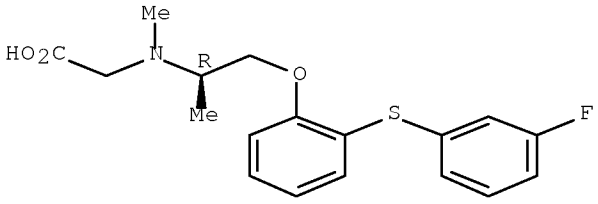
RN 791643-66-8 CAPLUS
CN Glycine, N-[(1R)-2-[2-[(3-chlorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

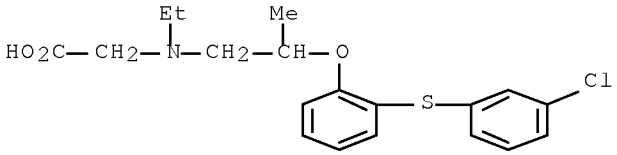


RN 791643-68-0 CAPLUS
CN Glycine, N-[(1R)-2-[2-[(3-fluorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

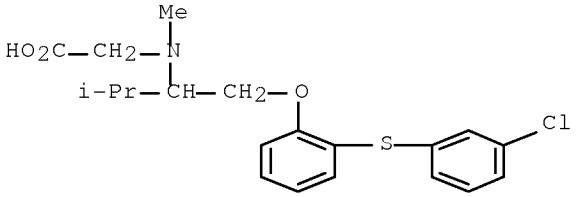
Absolute stereochemistry.



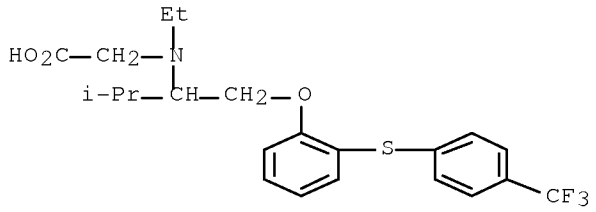
RN 791643-70-4 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]propyl]-N-ethyl- (CA INDEX NAME)



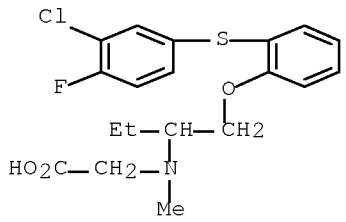
RN 791643-71-5 CAPLUS
CN Glycine, N-[1-[[2-[(3-chlorophenyl)thio]phenoxy]methyl]-2-methylpropyl]-N-methyl- (CA INDEX NAME)



RN 791643-72-6 CAPLUS
CN Glycine, N-ethyl-N-[2-methyl-1-[[2-[[4-(trifluoromethyl)phenyl]thio]phenoxy]methyl]propyl]- (CA INDEX NAME)

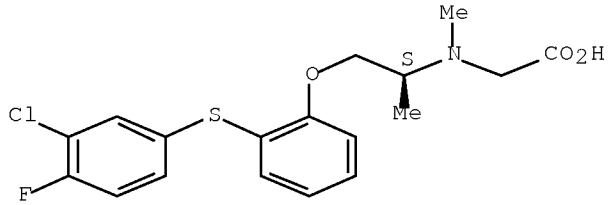


RN 791643-73-7 CAPLUS
CN Glycine, N-[1-[[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]methyl]propyl]-N-methyl- (CA INDEX NAME)



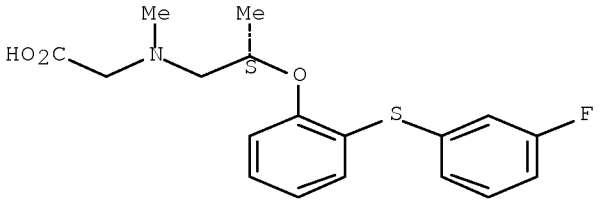
RN 791643-74-8 CAPLUS
CN Glycine, N-[(1S)-2-[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

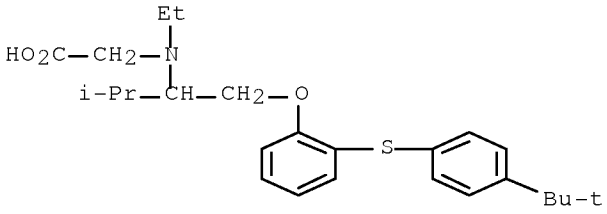


RN 791643-75-9 CAPLUS
CN Glycine, N-[(2S)-2-[2-[(3-fluorophenyl)thio]phenoxy]propyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

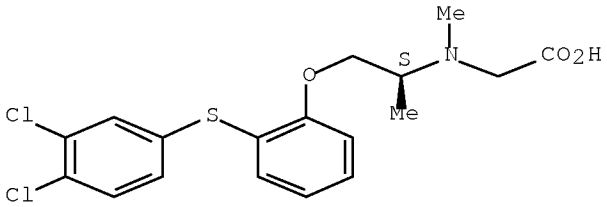


RN 791643-76-0 CAPLUS
CN Glycine, N-[1-[[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]methyl]-2-methylpropyl]-N-ethyl- (CA INDEX NAME)

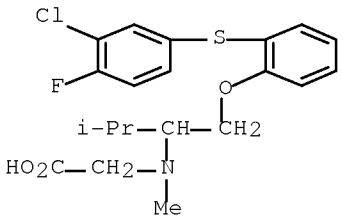


RN 791643-77-1 CAPLUS
CN Glycine, N-[(1S)-2-[2-[(3,4-dichlorophenyl)thio]phenoxy]-1-methylethyl]-N-methyl- (CA INDEX NAME)

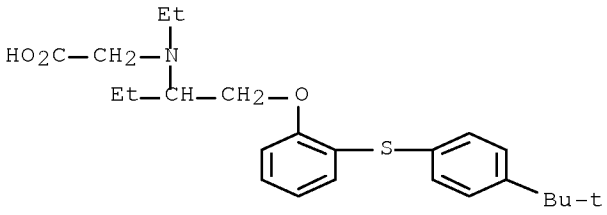
Absolute stereochemistry.



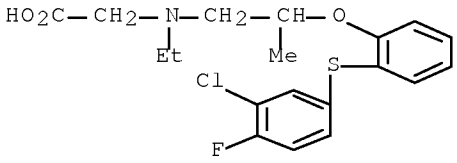
RN 791643-78-2 CAPLUS
CN Glycine, N-[1-[[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]methyl]-2-methylpropyl]-N-methyl- (CA INDEX NAME)



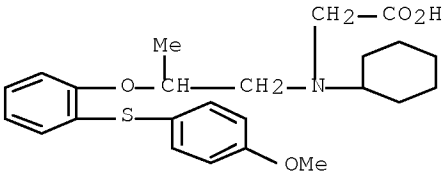
RN 791643-79-3 CAPLUS
CN Glycine, N-[1-[[2-[[4-(1,1-dimethylethyl)phenyl]thio]phenoxy]methyl]propyl]-N-ethyl- (CA INDEX NAME)



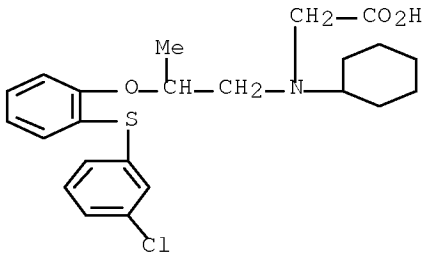
RN 791643-80-6 CAPLUS
CN Glycine, N-[2-[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]propyl]-N-ethyl- (CA INDEX NAME)



RN 791643-81-7 CAPLUS
CN Glycine, N-cyclohexyl-N-[2-[2-[(4-methoxyphenyl)thio]phenoxy]propyl]- (CA INDEX NAME)

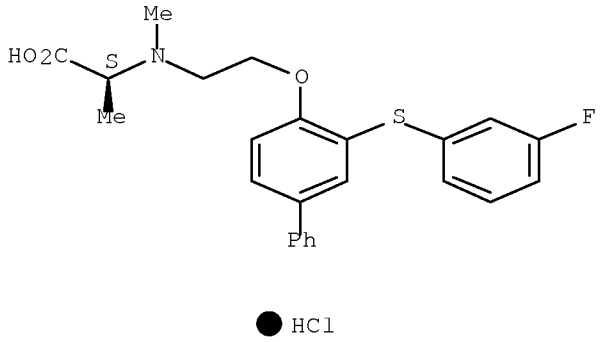


RN 791643-84-0 CAPLUS
CN Glycine, N-[2-[2-[(3-chlorophenyl)thio]phenoxy]propyl]-N-cyclohexyl- (CA INDEX NAME)

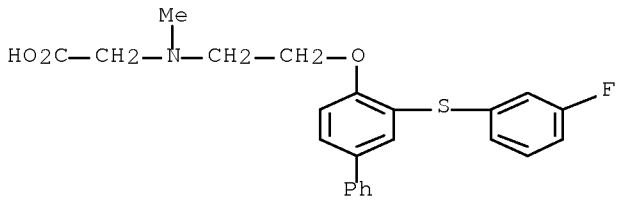


RN 791643-86-2 CAPLUS
CN L-Alanine, N-[2-[[3-[(3-fluorophenyl)thio][1,1'-biphenyl]-4-yl]oxy]ethyl]-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

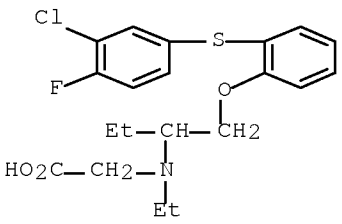
Absolute stereochemistry.



RN 791643-87-3 CAPLUS
CN Glycine, N-[2-[[3-[(3-fluorophenyl)thio][1,1'-biphenyl]-4-yl]oxy]ethyl]-N-methyl- (CA INDEX NAME)

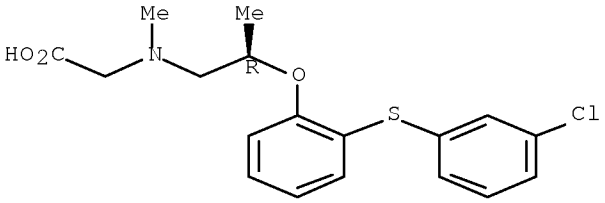


RN 791644-10-5 CAPLUS
CN Glycine, N-[1-[[2-[(3-chloro-4-fluorophenyl)thio]phenoxy]methyl]propyl]-N-ethyl- (CA INDEX NAME)

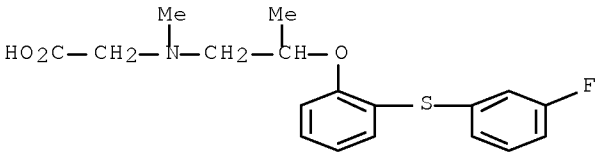


RN 791644-11-6 CAPLUS
CN Glycine, N-[(2R)-2-[2-[(3-chlorophenyl)thio]phenoxy]propyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

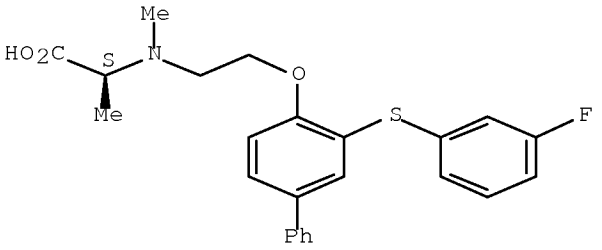


RN 791644-12-7 CAPLUS
CN Glycine, N-[2-[2-[(3-fluorophenyl)thio]phenoxy]propyl]-N-methyl- (CA INDEX NAME)



RN 791644-16-1 CAPLUS
CN L-Alanine, N-[2-[[3-[(3-fluorophenyl)thio][1,1'-biphenyl]-4-yl]oxy]ethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

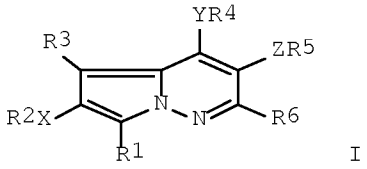
L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878155 CAPLUS Full-text
DOCUMENT NUMBER: 141:366240
TITLE: Preparation of pyrrolopyridazines for the treatment of proliferative disorders
INVENTOR(S): Salvati, Mark E.; Illig, Carl R.; Wilson, Kenneth Jerome; Chen, Jinsheng; Meegalla, Sanath K.; Wall, Mark James
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 189 pp., Cont.-in-part of U.S. Ser. No. 396,197.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209886	A1	20041021	US 2003-672850	20030926
US 7030112	B2	20060418		
US 20040063712	A1	20040401	US 2003-396197	20030325
US 6900208	B2	20050531		
WO 2005030144	A2	20050407	WO 2004-US31571	20040923
WO 2005030144	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1664051	A2	20060607	EP 2004-789070	20040923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 20050159420	A1	20050721	US 2005-29547	20050105

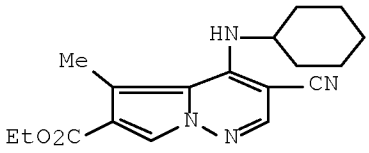
PRIORITY APPLN. INFO.:

US 2003-396197	A2	20030325
US 2002-368249P	P	20020328
US 2002-402118P	P	20020808
US 2003-672850	A	20030926
WO 2004-US31571	W	20040923

OTHER SOURCE(S): MARPAT 141:366240
GI



I



II

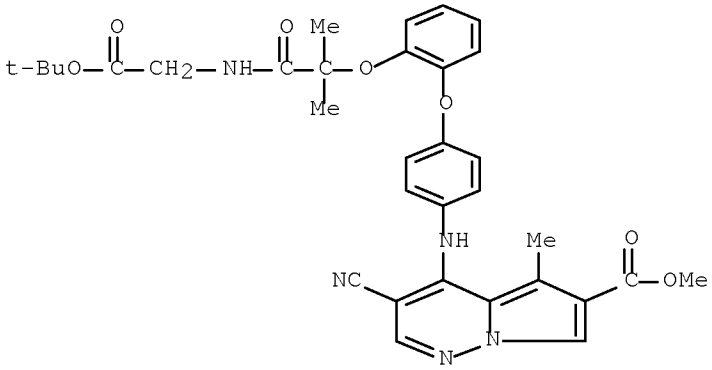
AB Pyrrolopyridazines I [R1 = H, alkyl, aralkyl, halo, OH, etc.; R2 = alkyl, cycloalkyl, aryl, heterocyclic, aralkyl, (un)substituted CO2H, CHO, CONH2, SO3H, SO2NH2, SH, S(O)H, SO2H; R1R2, R2R3 = cycloalkyl, aryl, heterocyclic; R3 = H, alkyl, cycloalkyl, heterocyclic, aryl, aralkyl, acyl, halo, (un)substituted OH, CH2OH, CH2NH2, CH2SH; R4 = alkyl, cycloalkyl, aryl, heterocyclic, aralkyl, acyl, (un)substituted CO2H, CONH2, SO3H, SO2NH2, SH, S(O)H, SO2H; R5 = H, halo, CN, alkyl, cycloalkyl, heterocyclic, aryl, aralkyl, acyl, alkylene, (un)substituted CO2H, CONH2, SO3H, SO2NH2, SH, S(O)H, SO2H; R6 = H, alkyl, cycloalkyl, aryl, aralkyl, heterocyclic, acyl, alkoxycarbonyl, carbamoyl; X, Y, Z = bond, O, S, (un)substituted NH, etc.] were prepared for use in the treatment of proliferative, inflammatory, and other disorders (no data). Thus, NCCH2CO2Et was cyclized with MeCHO to di-Et 3-methyl-1H-pyrrole-2,4-dicarboxylate which was N-aminated and cyclized with (EtO)2CHCH2CN to give Et 3-cyano-1,4-dihydro-5-methyl-4- oxopyrrolo[1,2-b]pyridazine-6-carboxylate. This ketone was chlorinated and treated with cyclohexylamine to give the title compound II. The compds. I were tested against several different kinases such as VEGFR-2, FGFR-1, HER-1, HER-2, HER-4, MEK and p38 kinases. Thus, tested compds. I inhibited VEGFR-2 and FGFR-1 kinases with IC50 of ≤80 μM.

IT 779344-57-9P

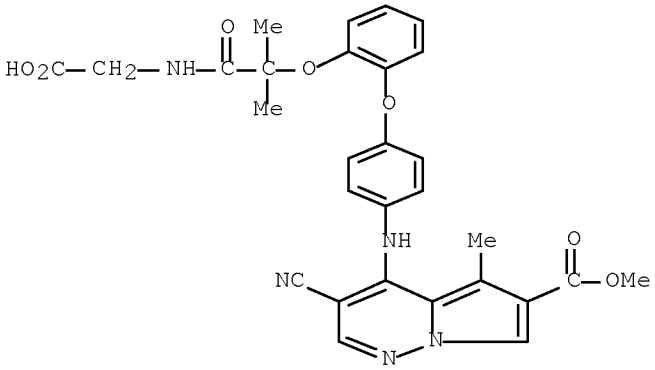
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolopyridazines for the treatment of proliferative disorders)

RN 779344-57-9 CAPLUS

CN Pyrrolo[1,2-b]pyridazine-6-carboxylic acid, 3-cyano-4-[[4-[2-[2-[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethoxy]phenoxy]phenyl]amino]-5-methyl-, methyl ester (CA INDEX NAME)



IT 779344-58-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridazines for the treatment of proliferative disorders)
RN 779344-58-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-6-carboxylic acid, 4-[[4-[2-[2-[(carboxymethyl)amino]-1,1-dimethyl-2-oxoethoxy]phenoxy]phenyl]amino]-3-cyano-5-methyl-, 6-methyl ester (CA INDEX NAME)



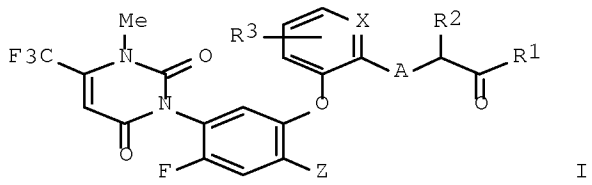
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:946033 CAPLUS Full-text
DOCUMENT NUMBER: 138:20910
TITLE: Preparation of 3-Methyl-2,6-dioxo-4-(trifluoromethyl)-1,2,3,6-tetrahydropyrimidine derivatives as plant growth regulators for cotton
INVENTOR(S): Mito, Nobuaki
PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan
SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098227	A1	20021212	WO 2001-JP4584	20010531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001262676	A1	20021216	AU 2001-262676	20010531
AU 2001262676	B2	20070125		
BR 2001017032	A	20040420	BR 2001-17032	20010531
US 20040152597	A1	20040805	US 2003-476511	20031103
US 7115544	B2	20061003		

PRIORITY APPLN. INFO.: WO 2001-JP4584 W 20010531
OTHER SOURCE(S): MARPAT 138:20910
GI

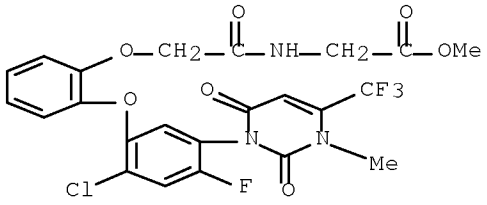


I

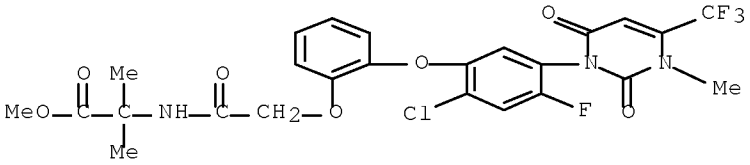
AB Plant growth regulators for cotton containing as an active ingredient a compound I (X = CH, or N; Z = halo; A = O, S, or NH; R1 = OH, C1-C7 alkoxy, C3-C7 alkenyloxy, C3-C7 alkynyloxy, C5-C7 cycloalkoxy, [di(C1-C7 alkoxy)carbonyl]C1-C3 alkoxy, (C1-C7 alkylamino)oxy, [di(C1-C7 alkyl)amino]oxy, (C3-C7 alkylideneamino)oxy, C1-C7 alkylamino, di(C1-C7 alkyl)amino, C3-C7 alkenylamino, C3-C7 alkynylamino, C5-C7 cycloalkylamino, [(C1-C7 alkoxy)carbonyl]C1-C3 alkylamino, or (C1-C7 alkoxy)amino; R2 = H, or Me; R3 = H, halo, C1-C3 alkyl, or C1-C3 alkoxy) are prepared

IT 380500-89-0P 477714-69-5P 477715-66-5P
477715-68-7P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as plant growth regulator for cotton)

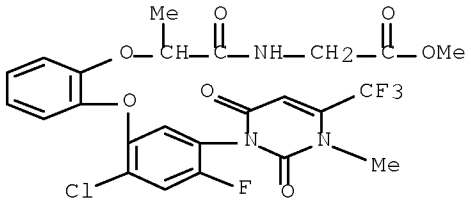
RN 380500-89-0 CAPLUS
CN Glycine, N-[[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



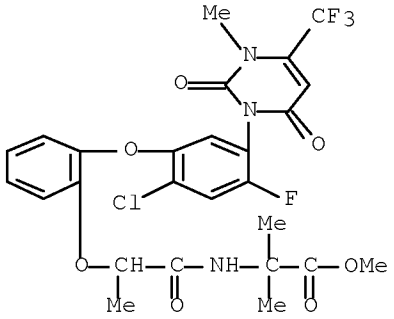
RN 477714-69-5 CAPLUS
CN Alanine, N-[[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]acetyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 477715-66-5 CAPLUS
CN Glycine, N-[2-[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]-1-oxopropyl]-, methyl ester (CA INDEX NAME)



RN 477715-68-7 CAPLUS
CN Alanine, N-[2-[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]-1-oxopropyl]-2-methyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:428894 CAPLUS Full-text
DOCUMENT NUMBER: 137:20303
TITLE: Preparation of substituted quinolines as antitumor agents
INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Foote, Kevin Michael
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044166	A1	20020606	WO 2001-GB4737	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010714	A	20020611	AU 2002-10714	20011026
EP 1337524	A1	20030827	EP 2001-978616	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514718	T	20040520	JP 2002-546536	20011026
US 20040029898	A1	20040212	US 2003-415812	20030502
US 7067532	B2	20060627		
US 20070021407	A1	20070125	US 2006-374423	20060314
US 7402583	B2	20080722		

PRIORITY APPLN. INFO.:

GB 2000-26744	A	20001102
GB 2000-26746	A	20001102
GB 2000-26747	A	20001102
WO 2001-GB4737	W	20011026
US 2003-415812	A3	20030502

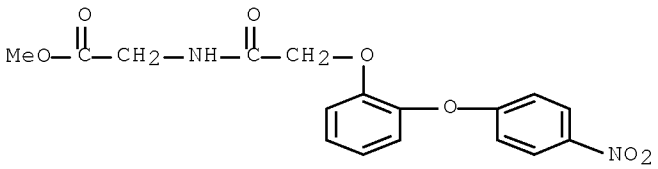
OTHER SOURCE(S): MARPAT 137:20303
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

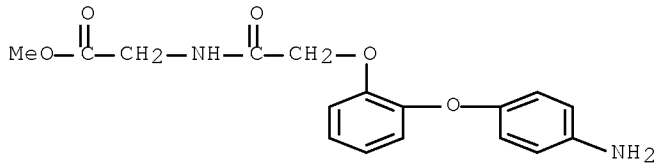
AB Title compds. I [n = 0 or 1; Y = NH, O, S, or alkylamine; R5 = CN, F, Cl, or Br; R6 = (un)substituted -cycloalkyl, -pyridinyl, -pyrimidinyl, -Ph, etc.; R1, R2 and R4 independently = H, OH, halo, CN, NO2, F3C, alkyl, amine, alkylamine, dialkylamine, R7X1(CH2)x- wherein x = 0-3, R7 = H, (un)substituted hydrocarbyl or heterocyclyl and X1 = O, CH2, OCO, CO, S, SO, SO2, NR8CO, NR8CO2, CONR9, CO2NR9, SO2NR10, NR11 or NR11NR11 wherein R8, R9, R10 and R11 independently = H, alkyl or alkoxyalkyl; R3 = group of formula X1R12(OH)p where p = 1-2 and R12 = alkylene, alkenylene or alkynylene chain, optionally interposed with a heteroatom or heterocyclic ring with the provision that when R12 = alkylene, R12 must be interposed with a heteroatom or heterocyclic ring and at least one (OH)p is on the alkylene chain between X1 and the interposed heteroatom or heterocyclic ring; group of formula R7(CH2)yX1(CH2)x where y = 0-5 and (CH2)y is optionally interposed by an X1 group; group of formula X1alkyl where alkyl is substituted by one or more Cl and/or CN; heterocyclic ring, etc.], or a pharmaceutically acceptable salt, pro-drug or solvate thereof are prepared and disclosed as antiproliferative agents. Thus, II was prepared in eight steps from benzylchloroformate and 2-methoxy-5-nitroaniline. I were evaluated as inhibitors of MAPK pathway and exhibited IC50 values typically lest than 0.5 μM, e.g., II possessed an IC50 = 0.0013μM. In cell proliferation assays, I had IC50 results typically less than 30μM with II giving an IC50 of 1.3 μM in HT29 human colon tumor cells. Methods for prevention of cancer comprising administering an effective amount of compound I are further claimed.

IT 306999-95-1P 307309-82-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation, inhibition of MAP kinase, and cellular antiproliferation activity of substituted quinolines as antitumor agents)

RN 306999-95-1 CAPLUS
CN Glycine, N-[[2-(4-nitrophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 307309-82-6 CAPLUS
CN Glycine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:353433 CAPLUS Full-text
DOCUMENT NUMBER: 136:369616
TITLE: Preparation of 3-cyano-4-arylaminoquinolines as inhibitors of MAP kinase for use as antitumor agents
INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036570	A1	20020510	WO 2001-GB4733	20011025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095791	A	20020515	AU 2001-95791	20011025
EP 1337513	A1	20030827	EP 2001-976523	20011025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517059	T	20040610	JP 2002-539330	20011025
US 20050101630	A1	20050512	US 2003-415813	20030502
US 7253184	B2	20070807		
US 20080027054	A1	20080131	US 2007-826507	20070716
US 7504416	B2	20090317		

PRIORITY APPLN. INFO.:	GB 2000-26745	A	20001102
	GB 2000-26747	A	20001102
	WO 2001-GB4733	W	20011025
	US 2003-415813	A3	20030502

OTHER SOURCE(S): MARPAT 136:369616
GI

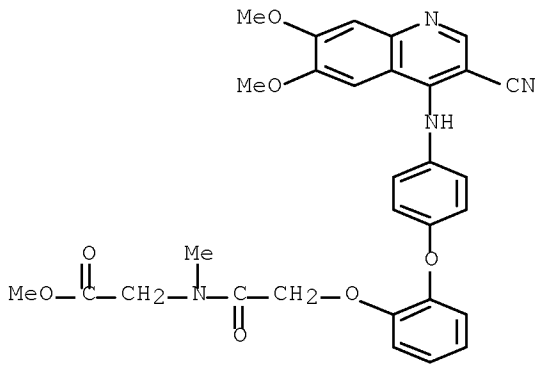
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I [R1, R2, R3, R4 independently H, HO, halogen, NC, O2N, F3C, (un)substituted C1-C3 alkyl, (un)substituted amino, saturated heterocyclyl containing two heteroatoms; R5 = NC, F, Cl, Br; R6 = divalent C1-C5 alkenyl, C3-C7 cycloalkyl, or heteroaryl moiety; R7 = AR8; A = bond, O, CO, S, SO, SO2, (un)substituted aminocarbonyl, (un)substituted carbonylamino, (un)substituted sulfonylamino, (un)substituted aminosulfonyl, (un)substituted amino; R8 = C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl; R9 = (un)substituted C3-C7 divalent cycloalkyl; R10 = (un)substituted arylene, heteroarylene, heteroarylene N-oxide, C3-C10 cycloalkylene; X = amino, (C1-C6)alkylamino, O, S, CH2; Y = amino, (C1-C6)alkylamino, O, S; Z = (un)substituted alkyl, alkylene, alkynylene, O, CO, COO, S, SO, SO2, (un)substituted aminocarbonyl, carbonylamino, sulfonylamino, aminosulfonyl, amino; n = 0,1; m and p independently 0-3; alternatively, R10Z(CH2)pR6R7 can be replaced with a heteroaryl or heterocyclyl-2,3-fused Ph ring] were prepared as inhibitors of MAP kinase for use as antitumor agents. E.g., 1-fluoro-4-nitrobenzene undergoes nucleophilic substitution with (2-hydroxyphenoxy)acetic acid followed by coupling of the acid with Me glycinate, reduction of the nitro group with Pd/C, and reaction of the ester with N-methylpiperazine to give the aminophenoxymethylcarbonylaminoacetyl piperazine II. E.g., coupling of II with 4-chloro-6,7-dimethoxy-3-quinolinenitrile gave the example compound III. Biol. data was obtained for selected compds. Selected compds. inhibited MAP kinase with IC50 < 0.5 μM; for example, III gave an IC50 of 3.8 nM. In addition, selected compds. inhibited the proliferation of human colon cancer cells with IC50 < 30 μM; for example, III gave an IC50 of 1 μM.

IT 423179-57-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(example compds.; preparation of 4-arylamino-3-cyanoquinolines as inhibitors of MAP kinase for potential use as antitumor agents)

RN 423179-57-1 CAPLUS

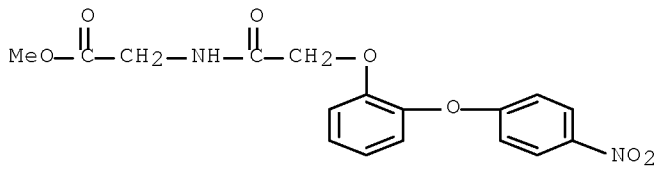
CN Glycine, N-[[2-[4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]phenoxy]phenoxy]acetyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)



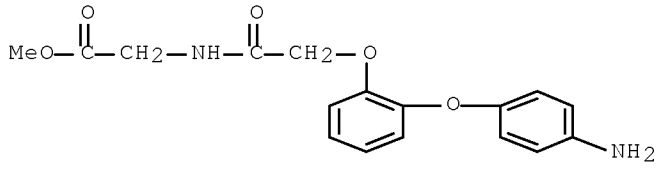
IT 306999-95-1P 307309-82-6P 423180-30-7P
423180-31-8P 423180-57-8P 423180-59-0P
423180-89-6P 423180-90-9P 423180-96-5P
423180-97-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediates; preparation of 4-arylamino-3-cyanoquinolines as inhibitors of MAP kinase for potential use as antitumor agents)

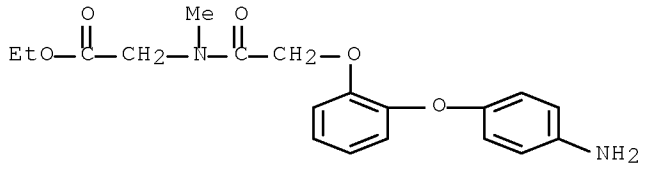
RN 306999-95-1 CAPLUS
CN Glycine, N-[[2-(4-nitrophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



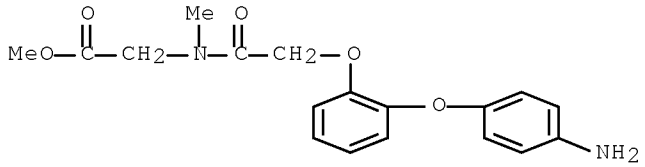
RN 307309-82-6 CAPLUS
CN Glycine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



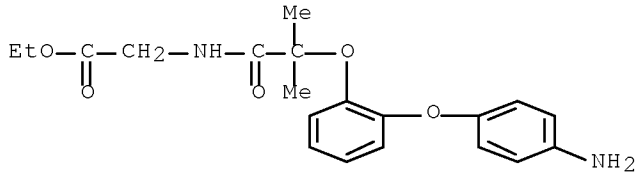
RN 423180-30-7 CAPLUS
CN Glycine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)



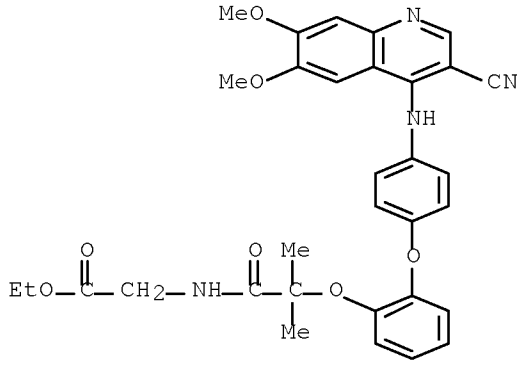
RN 423180-31-8 CAPLUS
CN Glycine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)



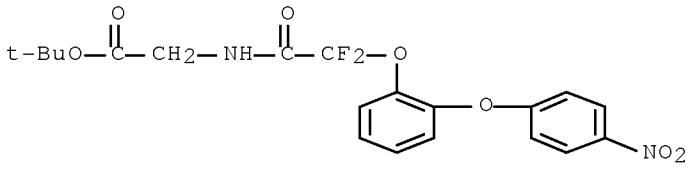
RN 423180-57-8 CAPLUS
CN Glycine, N-[2-[2-(4-aminophenoxy)phenoxy]-2-methyl-1-oxopropyl]-, ethyl ester (CA INDEX NAME)



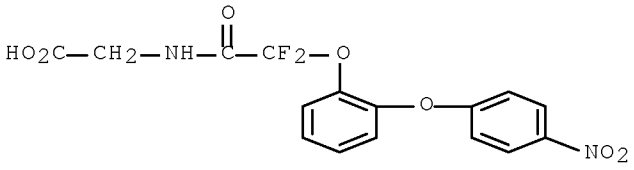
RN 423180-59-0 CAPLUS
CN Glycine, N-[2-[2-[4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]phenoxy]phenoxy]-2-methyl-1-oxopropyl]-, ethyl ester (CA INDEX NAME)



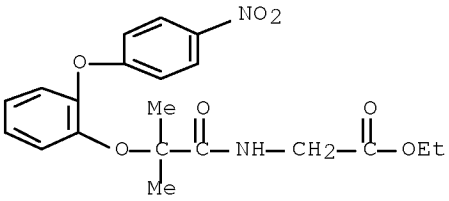
RN 423180-89-6 CAPLUS
CN Glycine, N-[difluoro[2-(4-nitrophenoxy)phenoxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



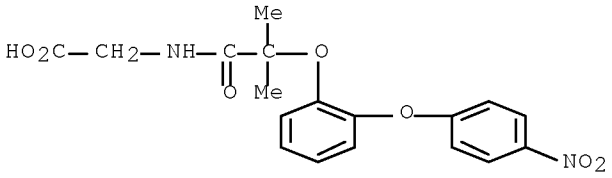
RN 423180-90-9 CAPLUS
CN Glycine, N-[difluoro[2-(4-nitrophenoxy)phenoxy]acetyl]- (9CI) (CA INDEX NAME)



RN 423180-96-5 CAPLUS
CN Glycine, N-[2-methyl-2-[2-(4-nitrophenoxy)phenoxy]-1-oxopropyl]-, ethyl ester (CA INDEX NAME)



RN 423180-97-6 CAPLUS
CN Glycine, N-[2-methyl-2-[2-(4-nitrophenoxy)phenoxy]-1-oxopropyl]- (CA INDEX NAME)



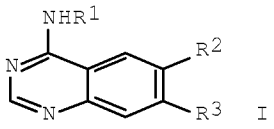
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:171867 CAPLUS Full-text
DOCUMENT NUMBER: 136:232314
TITLE: Preparation of aminoquinazolines as epidermal growth factor receptor signal transduction inhibitors
INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Blech, Stefan; Solca, Flavio
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018351	A1	20020307	WO 2001-EP9532	20010818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10042058	A1	20020307	DE 2000-10042058	20000826
AU 2001087694	A	20020313	AU 2001-87694	20010818
CA 2417897	A1	20030130	CA 2001-2417897	20010818
EP 1315705	A1	20030604	EP 2001-967285	20010818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013519	A	20030701	BR 2001-13519	20010818
HU 2003000819	A2	20030929	HU 2003-819	20010818
HU 2003000819	A3	20080328		
JP 2004507529	T	20040311	JP 2002-523469	20010818
EE 200300077	A	20041215	EE 2003-77	20010818
NZ 524668	A	20060630	NZ 2001-524668	20010818
AU 2001287694	B2	20070906	AU 2001-287694	20010818
IL 154602	A	20080708	IL 2001-154602	20010818
CN 100404517	C	20080723	CN 2001-814635	20010818
US 20020082271	A1	20020627	US 2001-934772	20010822
US 6656946	B2	20031202		
ZA 2003000991	A	20040416	ZA 2003-991	20030205
BG 107559	A	20031031	BG 2003-107559	20030214
IN 2003MN00222	A	20050211	IN 2003-MN222	20030214
MX 2003001483	A	20030606	MX 2003-1483	20030218
NO 2003000870	A	20030225	NO 2003-870	20030225
NO 324866	B1	20071217		
KR 862873	B1	20081015	KR 2003-702744	20030225
HK 1057557	A1	20081031	HK 2004-100462	20040121

PRIORITY APPLN. INFO.:	DE 2000-10042058	A	20000826
	US 2000-230035P	P	20000905
	WO 2001-EP9532	W	20010818

OTHER SOURCE(S): MARPAT 136:232314
GI



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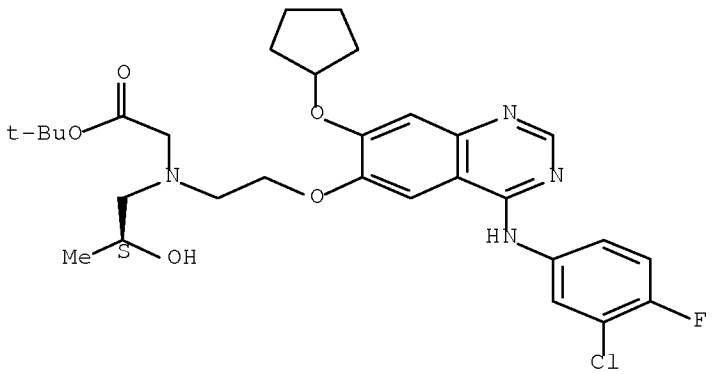
AB Title compds. [I; R1 = PhCH2, 1-phenylethyl, (substituted) Ph; R2, R3 = O(CH2)mR4, methoxy, cyclobutyloxy, cyclopentyloxy, cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy, tetrahydropyranylmethoxy; R4 = N-(2-oxotetrahydrofuran-4-yl)methylamino, N-(2-oxotetrahydrofuran-4-yl)ethylamino, (substituted) 2-oxo-morpholin-4-yl, R5OCOCH2NCH2CH2OH; R5 = H, alkyl; m = 2-4], were prepared Thus, 4-[(3-bromophenyl)amino]-6-[2-(N-[(tert- butyloxycarbonyl)methyl]-N-((S)-2-hydroxypropyl)amino)ethoxy]-7- methoxyquinazoline (preparation given) in MeCN was stirred under reflux with MeSO2OH for 3 h followed by addition of MeSO2OH up to completely conversion to give 85% 4-[(3-bromophenyl)amino]-6-[2-((S)-6-methyl-2-oxomorpholin-4- yl)ethoxy]-7-methoxyquinoline. Tested I inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 29-59 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders.

IT 402735-26-6P 402735-27-7P 402735-34-6P
402735-35-7P 402735-36-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402735-26-6 CAPLUS

CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]oxy]ethyl]-N-[(2S)-2-hydroxypropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

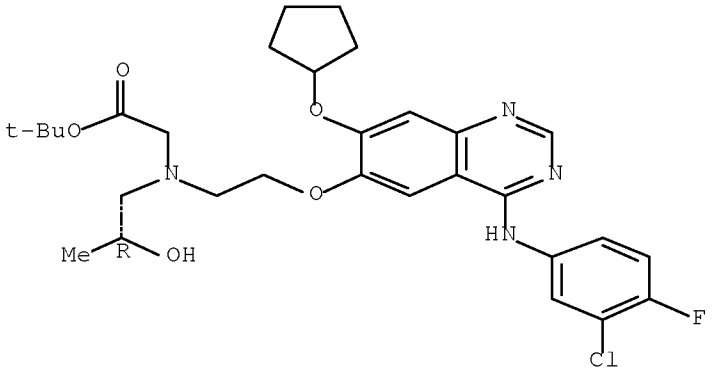
Absolute stereochemistry.



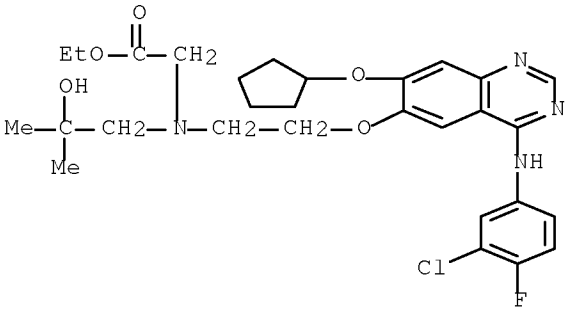
RN 402735-27-7 CAPLUS

CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]oxy]ethyl]-N-[(2R)-2-hydroxypropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

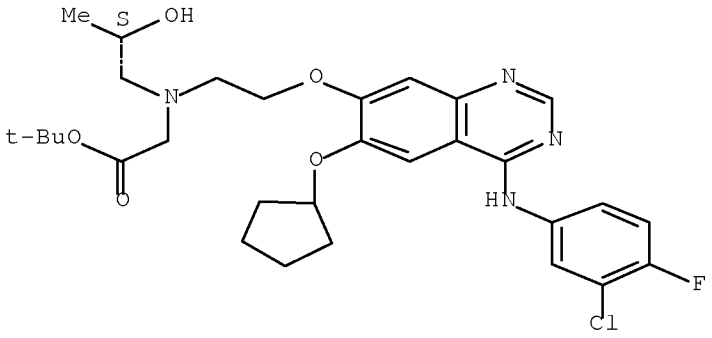


RN 402735-34-6 CAPLUS
CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]oxy]ethyl]-N-(2-hydroxy-2-methylpropyl)-, ethyl ester (CA INDEX NAME)



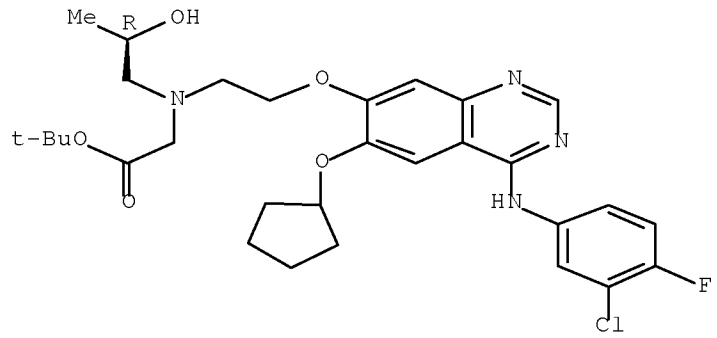
RN 402735-35-7 CAPLUS
CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-(cyclopentyloxy)-7-quinazolinyl]oxy]ethyl]-N-[(2S)-2-hydroxypropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 402735-36-8 CAPLUS
CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-(cyclopentyloxy)-7-quinazolinyl]oxy]ethyl]-N-[(2R)-2-hydroxypropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:910259 CAPLUS Full-text
DOCUMENT NUMBER: 136:53754
TITLE: Preparation and application of uracils as herbicides
INVENTOR(S): Goto, Tomohiko; Sanemitsu, Minoru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 91 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001348376	A	20011218	JP 2000-170234	20000607

PRIORITY APPLN. INFO.: JP 2000-170234 20000607
OTHER SOURCE(S): MARPAT 136:53754
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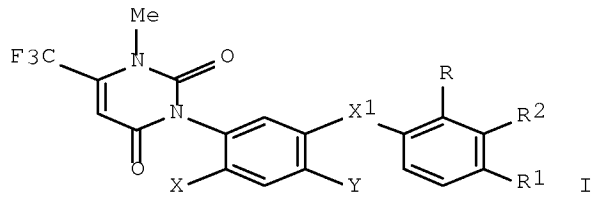
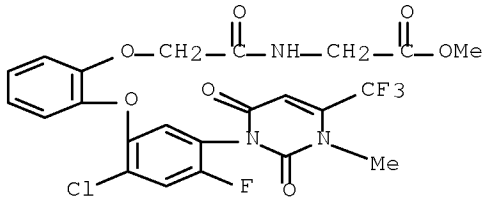


I

AB Title compds. [I; R = OCH(CH3)COOCH2COOCH3, (S)-OCH2CONHCH(CH2CH(CH3)2)CO2CH3, OCH2CONHCH2CO2CH3, OCH2CO2CH2CO2CH3, OCH2CO2C(CH3)2CO2CH2CH:CH2, H, CF3, CH3; R1 = H, OCH(CH3)CO2CH2COOH, OCH2COOCH2COOCH2CH:CH2, H; R2 = H, OCH(CH3)CO2CH2COOH, OCH2COOCH2COSCH2CH3; X = F, H; Y = Cl, NO2; X1 = O, S, NH] are prepared as herbicides. Thus, the title compound I (R = OCH2COOC(CH3)2COOCH2CH2CH:CH2; R1 = H; R2 = H; X = F; X1 = O; Y = Cl) was prepared and field tested as effective herbicide in forage and soil treatment.

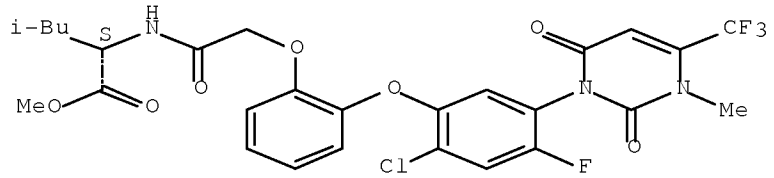
IT 380500-89-0P 380500-90-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and application of uracils as herbicides)

RN 380500-89-0 CAPLUS
CN Glycine, N-[[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 380500-90-3 CAPLUS
CN L-Leucine, N-[[2-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:814464 CAPLUS Full-text
DOCUMENT NUMBER: 133:362712
TITLE: Preparation of quinoline derivatives as inhibitors of MEK enzymes
INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Poyser, Jeffrey Philip; Turner, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000068201	A1	20001116	WO 2000-GB1697		20000503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2372663	A1	20001116	CA 2000-2372663		20000503
EP 1178967	A1	20020213	EP 2000-927491		20000503
EP 1178967	B1	20060308			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
TR 200103186	T2	20020422	TR 2001-3186		20000503
BR 2000010391	A	20020702	BR 2000-10391		20000503
HU 2002001219	A2	20020928	HU 2002-1219		20000503
HU 2002001219	A3	20030528			
EE 200100589	A	20030217	EE 2001-589		20000503
NZ 514980	A	20031031	NZ 2000-514980		20000503
AU 772846	B2	20040506	AU 2000-45891		20000503
CN 1219768	C	20050921	CN 2000-809959		20000503
EP 1584619	A1	20051012	EP 2005-13587		20000503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LV, FI, MK, CY, AL					
AT 319688	T	20060315	AT 2000-927491		20000503
PT 1178967	T	20060630	PT 2000-927491		20000503
ES 2258455	T3	20060901	ES 2000-927491		20000503
ZA 2001008971	A	20030130	ZA 2001-8971		20011030
IN 2001MN01338	A	20050304	IN 2001-MN1338		20011031
BG 106073	A	20020531	BG 2001-106073		20011101
NO 2001005448	A	20020107	NO 2001-5448		20011107
NO 321696	B1	20060626			
MX 2001011360	A	20020311	MX 2001-11360		20011107
PRIORITY APPLN. INFO.:			GB 1999-10577	A	19990508
			EP 2000-927491	A3	20000503
			WO 2000-GB1697	W	20000503

OTHER SOURCE(S): MARPAT 133:362712
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

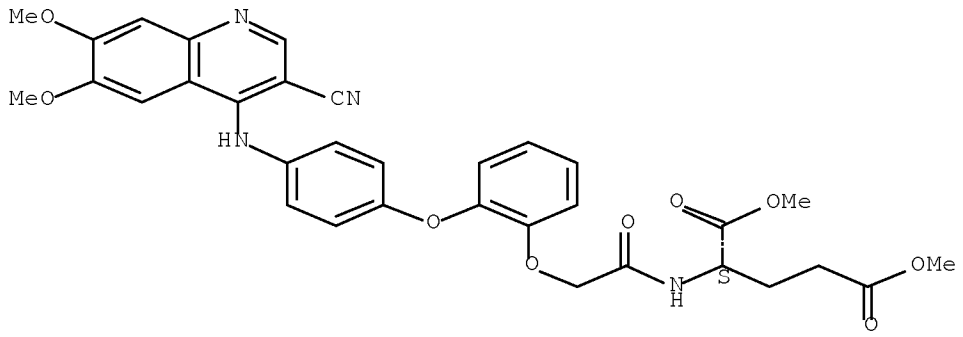
AB Title compds. [I; or a pharmaceutically acceptable salt thereof wherein: n is 0-1; X and Y are independently selected from NH, O, S, or NR8 where R8 is alkyl of 1-6 carbon atoms and X may addnl. comprise a CH2 group; R7 is a group (CH2)mR9 where m is 0, or an integer of from 1-3 and R9 is a substituted aryl group, an optionally substituted cycloalkyl ring of up to 10 carbon atoms, or an optionally substituted heterocyclic ring or an N-oxide of any nitrogen containing ring; R6 is a divalent cycloalkyl of 3 to 7 carbon atoms, which may be optionally further substituted with one or more alkyl of 1 to 6 carbon atom groups; or is a divalent pyridinyl, pyrimidinyl, or Ph ring; wherein the

pyridinyl, pyrimidinyl, or Ph ring may be optionally further substituted with one or more specified groups; R1, R2, R3 and R4 are each independently selected from hydrogen or various specified organic groups]. Title compds. are useful as pharmaceuticals for the inhibition of MEK activity. Thus, the title compound II was prepared and tested in HT29 human colon tumor cell proliferation assay.

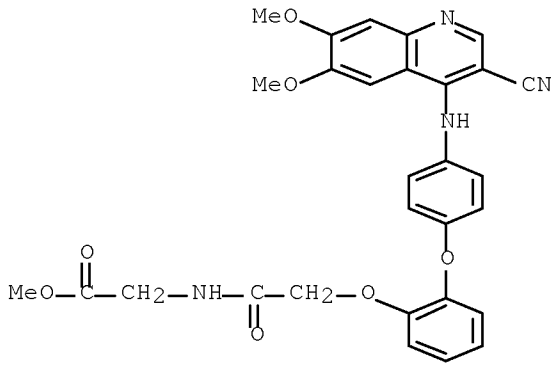
IT 306999-63-3P 306999-65-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinoline derivs. as inhibitors of MEK enzymes)

RN 306999-63-3 CAPLUS
CN L-Glutamic acid, N-[[2-[4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]phenoxy]phenoxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



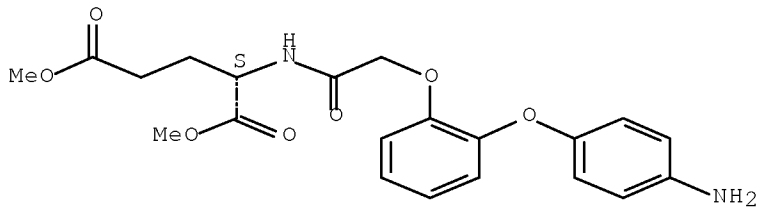
RN 306999-65-5 CAPLUS
CN Glycine, N-[[2-[4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]phenoxy]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 306999-81-5 306999-85-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinoline derivs. as inhibitors of MEK enzymes)

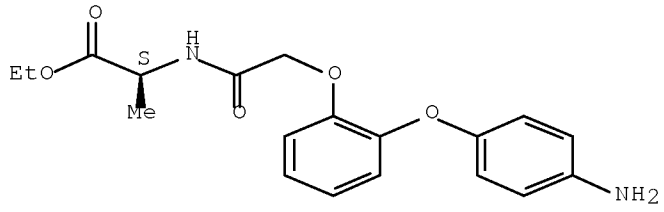
RN 306999-81-5 CAPLUS
CN L-Glutamic acid, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



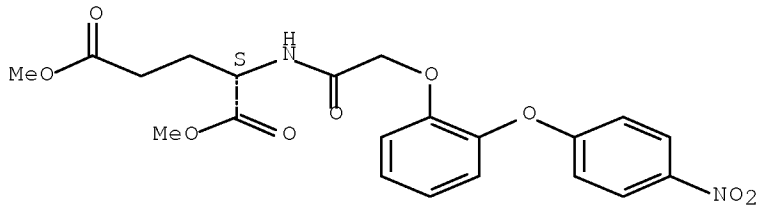
RN 306999-85-9 CAPLUS
CN L-Alanine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



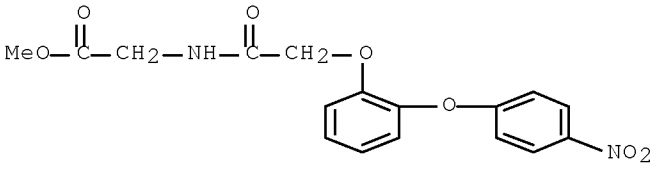
IT 306999-93-9P 306999-95-1P 306999-96-2P
307309-82-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline derivs. as inhibitors of MEK enzymes)
RN 306999-93-9 CAPLUS
CN L-Glutamic acid, N-[[2-(4-nitrophenoxy)phenoxy]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



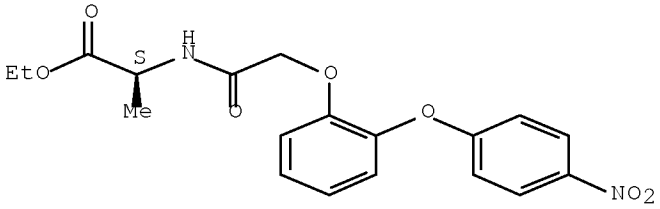
RN 306999-95-1 CAPLUS
CN Glycine, N-[[2-(4-nitrophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA

INDEX NAME)

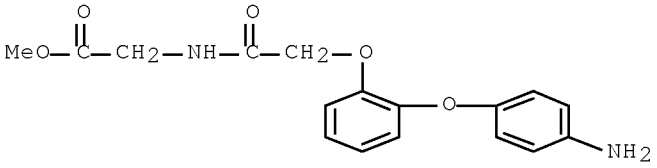


RN 306999-96-2 CAPLUS
CN L-Alanine, N-[[2-(4-nitrophenoxy)phenoxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307309-82-6 CAPLUS
CN Glycine, N-[[2-(4-aminophenoxy)phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:666715 CAPLUS Full-text
DOCUMENT NUMBER: 133:252449
TITLE: Quinazolines and other bicyclic heterocycles, pharmaceutical compositions containing these compounds as tyrosine kinase inhibitors, and processes for preparing them
INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Blech, Stefan; Jung, Birgit; Metz, Thomas; Solca, Flavio
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055141	A1	20000921	WO 2000-EP2228	20000314
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19911509	A1	20000921	DE 1999-19911509	19990315
CA 2368059	A1	20000921	CA 2000-2368059	20000314
EP 1163227	A1	20011219	EP 2000-909360	20000314
EP 1163227	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009076	A	20011226	BR 2000-9076	20000314
TR 200102782	T2	20020422	TR 2001-2782	20000314
JP 2002539199	T	20021119	JP 2000-605571	20000314
JP 3754617	B2	20060315		
EE 200100484	A	20021216	EE 2001-484	20000314
EE 5034	B1	20080616		
HU 2002001832	A2	20021228	HU 2002-1832	20000314
HU 2002001832	A3	20030228		
NZ 514706	A	20031128	NZ 2000-514706	20000314
AU 772520	B2	20040429	AU 2000-31667	20000314
CN 1150171	C	20040519	CN 2000-805005	20000314
AT 305456	T	20051015	AT 2000-909360	20000314
ES 2250111	T3	20060416	ES 2000-909360	20000314
IL 144626	A	20070211	IL 2000-144626	20000314
TW 268924	B	20061221	TW 2000-89104508	20000426
IN 2001MN00956	A	20050304	IN 2001-MN956	20010809
MX 2001008324	A	20020311	MX 2001-8324	20010816
US 20020177601	A1	20021128	US 2001-938235	20010823
ZA 2001007185	A	20020621	ZA 2001-7185	20010830
BG 105893	A	20020531	BG 2001-105893	20010912
BG 65130	B1	20070330		
KR 749292	B1	20070814	KR 2001-711645	20010913
NO 2001004487	A	20010914	NO 2001-4487	20010914
HK 1043124	A1	20041203	HK 2002-104697	20020625
JP 2006077010	A	20060323	JP 2005-259571	20050907
US 20060063752	A1	20060323	US 2005-266920	20051104

PRIORITY APPLN. INFO.:

DE 1999-19911509	A	19990315
JP 2000-605571	A3	20000314
WO 2000-EP2228	W	20000314
US 2001-938235	A1	20010823

OTHER SOURCE(S): MARPAT 133:252449

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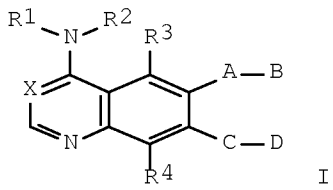
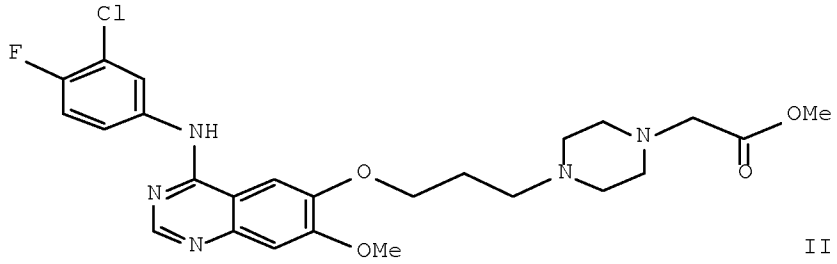


I



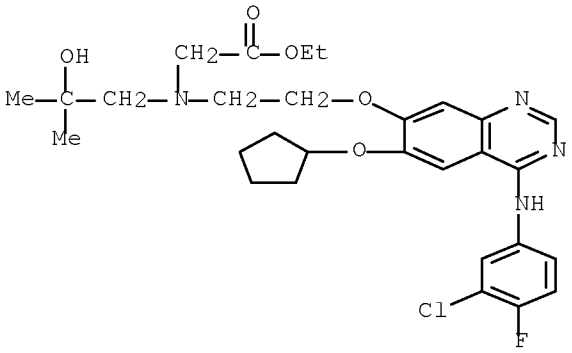
II

AB The invention relates to bicyclic heterocyclic compds. I [R1 = H, alkyl; R2 = (un)substituted Ph, CH2Ph, or CH(Me)Ph; R3, R4 = H, F, Cl, OMe, or Me optionally substituted by OMe, NMe2, NEt2, pyrrolidino, piperidino, or morpholino; X = N or C(CN); A = O, NH, (un)substituted alkylene, O-alkylene, NH-alkylene, O-cycloalkylene, etc.; B = (un)substituted amine-containing sidechain, piperazino, alkyleneimino, morpholino, etc.; or AB = H, F, Cl, alkoxy, amino, etc.; C = groups similar to A; D = groups similar to B; with a variety of provisos] and their tautomers, stereoisomers, and salts, and particularly their physiol. acceptable salts with inorg. or organic acids or bases. The compds. have valuable pharmacol. properties, particularly an inhibitory effect on signal transduction mediated by tyrosine kinases, and are useful in treating diseases, particularly tumor diseases, and diseases of the lung and airways. Over 20 compds. were prepared, and over 200 are listed. For instance, alkylation of 4-(3-chloro-4-fluorophenylamino)-6-[3-(1-piperazinyl)propyloxy]-7- methoxyquinazoline (preparation given) by Me bromoacetate gave 51% title compound II. The latter compound inhibited EGF-dependent proliferation of F/L-HERc cells in vitro, with an IC50 of 46 nM.

IT 295330-29-9P, 4-[(3-Chloro-4-fluorophenyl)amino]-6-cyclopentyloxy-7-[2-[N-(2-hydroxy-2-methylprop-1-yl)-N-[(ethoxycarbonyl)methyl]amino]ethoxy]quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazoline derivs. and other bicyclic heterocycles as tyrosine kinase inhibitors)

RN 295330-29-9 CAPLUS

CN Glycine, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-(cyclopentyloxy)-7-quinazolinyl]oxy]ethyl]-N-(2-hydroxy-2-methylpropyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 09:42:07 ON 30 MAR 2009